

```
G1:CH2,Et
```

Match level:
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS 15:CLASS 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom 25:CLASS 27:CLASS

=> d his

.5

```
(FILE 'HOME' ENTERED AT 11:36:19 ON 20 OCT 2000)
    FILE 'REGISTRY' ENTERED AT 11:36:56 ON 20 OCT 2000
               STRUCTURE UPLOADED
L1
              0 S L1
L2
               STRUCTURE UPLOADED
L3
               STRUCTURE UPLOADED
L4
             11 S L4
L5
               STRUCTURE UPLOADED
L6
              0 S L6
L7
             6 S L7 FULL
L8
    FILE 'CA' ENTERED AT 11:43:42 ON 20 OCT 2000
             1 S L8
L9
     FILE 'REGISTRY' ENTERED AT 11:45:03 ON 20 OCT 2000
               STRUCTURE UPLOADED
L10
              0 S L10
L11
               STRUCTURE UPLOADED
L12
              1 S L12
L13
L14
              9 S L13 FULL
    FILE 'CA' ENTERED AT 11:47:19 ON 20 OCT 2000
            1 S L14
L15
            15 S L5 FULL
L16
     FILE 'REGISTRY' ENTERED AT 11:48:29 ON 20 OCT 2000
           190 S L5 FULL
     FILE 'CA' ENTERED AT 11:48:40 ON 20 OCT 2000
             36 S L17
L18
             1 S L18 AND OHKAWA, S?/AU
L19
             24 S L18 AND PD < JULY 1997
L20
     FILE 'CAOLD' ENTERED AT 11:51:13 ON 20 OCT 2000
            0 S L17
L21
```

	4						
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						,	
	•						



```
Connecting via Winsock to STN
```

Trving 3106016892...Open

Welcome to STN International! Enter x:x LOGINID:ssspta1612BXR

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

```
* * * * * * * * * * * Welcome to STN International
```

```
Web Page URLs for STN Seminar Schedule - N. America
NEWS
                Instant Access to FDA Regulatory Information with
NEWS 2 Aug 21
                DIOGENES
                CAS patent coverage expanded
NEWS 3 Aug 21
                TABULATE Now Available in More STN Databases
NEWS 4 Aug 24
                MEDLINE from 1958 to Date - Only on STN
NEWS 5 Aug 28
                DGENE GETSIM ALERT: Similarity Current-Awareness
NEWS 6 Sep 7
                 Searching of Biosequences
                Textile Technology Digest (TEXTILETECH) now available
NEWS 7 Sep 11
                 on STN
     8 Sep 21
                KKF renamed DKILIT
NEWS
                The Philippines Inventory of Chemicals and Chemical
NEWS 9 Sep 29
                Substances (PICCS) has been added to CHEMLIST
NEWS EXPRESS FREE UPGRADE 5.0D FOR STN EXPRESS 5.0 WITH DISCOVER!
              (WINDOWS) NOW AVAILABLE
             STN Operating Hours Plus Help Desk Availability
```

NEWS HOURS

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Direct Dial and Telecommunication Network Access to STN NEWS PHONE

CAS World Wide Web Site (general information) NEWS WWW

Enter NEWS followed by the item number or name to see news on that specific topic.

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* STN Columbus

FILE 'HOME' ENTERED AT 11:36:19 ON 20 OCT 2000

=> Uploading

THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE Do you want to switch to the Registry File?

Choice (Y/n):

Switching to the Registry File...

Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST

0.15 SESSION 0.15

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STRUCTURE FILE UPDATES: 18 OCT 2000 HIGHEST RN 297131-67-0 DICTIONARY FILE UPDATES: 18 OCT 2000 HIGHEST RN 297131-67-0

TSCA INFORMATION NOW CURRENT THROUGH July 8, 2000

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Structure search limits have been increased. See <code>HELP SLIMIT</code> for details.

=>

Uploading 09445193.str

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 ST

G1 CH2.Et

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 11:37:27 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 732 TO ITERATE

100.0% PROCESSED 732 ITERATIONS

O ANSWERS

SEARCH TIME: 00.00.02

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: PROJECTED ANSWERS:

13018 TO 16262 0 TO 0

L2 0 SEA SSS SAM L1

=>

Uploading 09445193.str

L3 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR

G1 CH2, Et

Structure attributes must be viewed using STN Express query preparation.

=>

Uploading 9445193a.str

L4 STRUCTURE UPLOADED

=> d 14

L4 HAS NO ANSWERS

L4 STR

G1 CH2, Et

G2 O, S

Structure attributes must be viewed using STN Express query preparation.

=> s 14

SAMPLE SEARCH INITIATED 11:40:37 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 2684 TO ITERATE

11 ANSWERS

37.3% PROCESSED 1000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.02

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 50575 TO 56785

PROJECTED ANSWERS: 264 TO 916

L5 11 SEA SSS SAM L4

=>

Uploading 9445193b.str

L6 STRUCTURE UPLOADED

=> d 16

L6 HAS NO ANSWERS

L6 STR

G1 CH2, Et

G2 O, S

G3 Me, Et

Structure attributes must be viewed using STN Express query preparation.

=> s 16

SAMPLE SEARCH INITIATED 11:43:28 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 900 TO ITERATE

100.0% PROCESSED 900 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 16201 TO 19799
PROJECTED ANSWERS: 0 TO 0

L7 0 SEA SSS SAM L6

=> s 17 full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 126.00 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y) /N or END: y

FULL SEARCH INITIATED 11:43:36 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 17889 TO ITERATE

100.0% PROCESSED 17889 ITERATIONS

6 ANSWERS

129.45

SEARCH TIME: 00.00.02

6 SEA SSS FUL L6

=> file ca

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION

129.30

FULL ESTIMATED COST

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FILE COVERS 1967 - 13 Oct 2000 VOL 133 ISS 17 FILE LAST UPDATED: 13 Oct 2000 (20001013/ED)

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Now you can extend your author, patent assignee, patent information, and title searches back to 1907. The records from 1907-1966 now have this searchable data in CAOLD. You now have electronic access to all of CA: 1907 to 1966 in CAOLD and 1967 to the present in CA on STN.

=> s 18

1 L8 L9

=> d 19, ibib abs fhitstr, 1

ANSWER 1 OF 1 CA COPYRIGHT 2000 ACS

ACCESSION NUMBER:

130:38285 CA

TITLE:

Benzofuran derivatives useful for suppressing

neurodegeneration.

INVENTOR(S):

Ohkawa, Shigenori; Setoh, Masaki; Kakihana, Mitsuru;

Okura, Masahiro

Takeda Chemical Industries, Ltd., Japan PATENT ASSIGNEE(S):

PCT Int. Appl., 132 pp. SOURCE:

CODEN: PIXXD2

Patent DOCUMENT TYPE: English

LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| PA | TENT : | NO. | | KI | ND | DATE | | | Al | PPLI | CATI | N NC | Э. | DATE | | | |
|---------|--------|------------|------|-----|----------|------|------|-------|-----|------|------|-------|-------|------|------|-----|-----|
| | | | | | | | | | | | | | | | | | |
| WO | 9855 | 454 | | A: | 2 | 1998 | 1210 | | W | 199 | 98-J | P248: | 2 | 1998 | 0604 | | |
| WO | 9855 | 454 | | A | 3 | 1999 | 0304 | | | | | | | | | | |
| | W: | AL, | AM, | AU, | ΑZ, | BA, | BB, | BG, | BR, | BY, | CA, | CN, | CU, | CZ, | EE, | GE, | GW, |
| | | HU, | ID, | IL, | IS, | KG, | KR, | ΚZ, | LC, | LK, | LR, | LT, | LV, | MD, | MG, | MK, | MN, |
| | | MX. | NO, | NZ, | PL, | RO, | RU, | SG, | SI, | SK, | SL, | ТJ, | ΤM, | TR, | TT, | UA, | US, |
| | | UZ. | VN. | YU, | AM, | AZ, | BY, | KG, | ΚZ, | MD, | RU, | ТJ, | TM | | | | |
| | RW: | GH. | GM. | KE. | LS. | MW. | SD, | SZ, | UG, | ZW, | AT, | BE, | CH, | CY, | DE, | DK, | ES, |
| | | FT. | FR. | GB. | GR. | IE. | IT. | LU, | MC, | NL, | PT, | SE, | BF, | ВJ, | CF, | CG, | CI, |
| | | CM. | GA. | GN. | ML. | MR, | NE. | SN. | TD. | TG | | | | | | | |
| 7.11 | 9875 | 503 | 0, | Δ., | 1 | 1998 | 1221 | | A | U 19 | 98-7 | 5503 | | 1998 | 0604 | | |
| סת | 1104 | 9765 | | Δ. | 2 | 1999 | 0223 | | J | P 19 | 98-1 | 5570 | 9 | 1998 | 0604 | | |
| OF. | 9882 | 9703 | | 7 | 2 | 2000 | 0220 | | E | P 19 | 98-9 | 2312 | 8 | 1998 | 0604 | | |
| EP | 9002 | שנט
חומ | יות | Cn. | ב
חני | 7000 | TC. | FD | GB | GR | TT | T.T. | T.II. | NL, | SE. | MC. | PT. |
| | к: | | | Cn, | DE, | DI, | ъэ, | E IV, | GD, | OI, | 11, | ш., | 20, | , | U-, | ,,, | , |
| | | IE, | | | | | | | 7 | n 10 | 07_1 | 1033 | 5 | 1997 | 0605 | | |
| PRIORIT | Y APP | LN. | TNEO | .: | | | | | | | | | | 1998 | | | |
| | | | | | | | | | | 0 19 | 98-0 | PZ48 | 2 | 1990 | 0604 | | |
| OTHER S | OURCE | (S): | | | MAF | RPAT | 130: | 3828 | 5 | | | | | | | | |

Title compds. I [R1, R2 = H, (un)substituted hydrocarbon group; or R1 and AΒ R2 form a 3- to 8-membered carbo- or heterocyclic ring which may be substituted; R3 = H, (un) substituted lower alkyl or arom. group; R4 = (un) substituted arom. or araliph. group, or acyl; X , Y = O or S which

may be oxidized; benzene ring may be further substituted] and their salts are disclosed. The compds. suppress .beta.-amyloid toxicity, and are thus useful as agents for treating of preventing neurodegenerative diseases such as Alzheimer's disease or Parkinsonism. Prepns. of 33 compds. I and

their intermediates are described. For instance, etherification of 3-(4-isopropylphenyl)-2,2,4,6,7-pentamethyl-2,3-dihydrobenzofuran-5-ol with 4-methoxybenzyl chloride using NaH in DMF gave 49% title compd. II. Seven example compds. gave 27.3-47.0% in vitro protection of human neuroblastoma SK-N-SH cells from .beta.-amyloid neurotoxicity. 216989-18-3P, 3-(4-Isopropylphenyl)-5-[(4-methoxybenzyl)oxy]-ΙT 2, 2, 4, 6, 7-pentamethyl-2, 3-dihydrobenzofuran RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (product; prepn. of benzofuran derivs. as agents for suppressing neurodegeneration) 216989-18-3 CA RN Benzofuran, CN 2,3-dihydro-5-[(4-methoxyphenyl)methoxy]-2,2,4,6,7-pentamethyl-3-[4-(1-methylethyl)phenyl]- (9CI) (CA INDEX NAME)

=> file req

SINCE FILE TOTAL COST IN U.S. DOLLARS ENTRY SESSION 133.82 4.37 FULL ESTIMATED COST SINCE FILE TOTAL DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) ENTRY SESSION -0.53-0.53CA SUBSCRIBER PRICE

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Structure search limits have been increased. See HELP SLIMIT for details.

=>

Uploading 9445193c.str

L10

STRUCTURE UPLOADED

=> d 110

L10 HAS NO ANSWERS

L10

G1 CH2, Et

G2 0, S

Structure attributes must be viewed using STN Express query preparation.

=> s 110

SAMPLE SEARCH INITIATED 11:45:34 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 1053 TO ITERATE

BATCH

95.0% PROCESSED 1000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE** **COMPLETE**

PROJECTED ITERATIONS:

19114 TO 23006

0 TO PROJECTED ANSWERS:

L11

O SEA SSS SAM L10

=>

Uploading 9445193d.str

STRUCTURE UPLOADED L12

=> d 112

L12 HAS NO ANSWERS

L12

STR

0 ANSWERS

G1 CH2, Et G2 O, S

Structure attributes must be viewed using STN Express query preparation.

=> s 112

SAMPLE SEARCH INITIATED 11:46:44 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 1792 TO ITERATE

55.8% PROCESSED 1000 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01 1 ANSWERS

00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 33302 TO 38378
PROJECTED ANSWERS: 1 TO 115

L13 1 SEA SSS SAM L12

=> s 113 full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 126.00 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:v

FULL SEARCH INITIATED 11:46:52 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 35588 TO ITERATE

9 SEA SSS FUL L12

100.0% PROCESSED 35588 ITERATIONS SEARCH TIME: 00.00.01

9 ANSWERS

CERNON TIME: 00:00:0

=> file ca

L14

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION 261.02 FULL ESTIMATED COST 127.20 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION CA SUBSCRIBER PRICE 0.00 -0.53

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=> s 114

L15 1 L14

=> d his

L9

(FILE 'HOME' ENTERED AT 11:36:19 ON 20 OCT 2000)

```
FILE 'REGISTRY' ENTERED AT 11:36:56 ON 20 OCT 2000
                STRUCTURE UPLOADED
L1
              0 S L1
L2
                STRUCTURE UPLOADED
L3
                STRUCTURE UPLOADED
L4
L5
             11 S L4
L6
                STRUCTURE UPLOADED
L7
              0 S L6
т.8
              6 S L7 FULL
     FILE 'CA' ENTERED AT 11:43:42 ON 20 OCT 2000
```

1 S L8

FILE 'CA' ENTERED AT 11:47:19 ON 20 OCT 2000 L15 1 S L14

=> s 15 full

L16 15 L5

=> file req

COST IN U.S. DOLLARS

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE
ENTRY
SESSION
261.62

TOTAL
SESSION
SINCE FILE
TOTAL

CA SUBSCRIBER PRICE

ENTRY SESSION 0.00 -0.53

FILE 'REGISTRY' ENTERED AT 11:48:29 ON 20 OCT 2000 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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Structure search limits have been increased. See $\mbox{HELP SLIMIT}$ for details.

=> s 15 full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 126.00 U.S. DOLLARS DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y

FULL SEARCH INITIATED 11:48:36 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 52797 TO ITERATE

100.0% PROCESSED 52797 ITERATIONS SEARCH TIME: 00.00.01

190 ANSWERS

SEARCH TIME: 00:00:01

L17 190 SEA SSS FUL L4

=> file ca

COST IN U.S. DOLLARS

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

CA SUBSCRIBER PRICE

SINCE FILE TOTAL SESSION SINCE FILE ENTRY SESSION O.00

-0.53

FILE 'CA' ENTERED AT 11:48:40 ON 20 OCT 2000 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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=> s 117

36 L17 L18

=> s 118 and ohkawa, s?/au

232 OHKAWA, S?/AU 1 L18 AND OHKAWA, S?/AU L19

=> d 119, ibib abs fhitstr, 1

L19 ANSWER 1 OF 1 CA COPYRIGHT 2000 ACS

ACCESSION NUMBER: 130:38285 CA Benzofuran derivatives useful for suppressing TITLE:

neurodegeneration.

Ohkawa, Shigenori; Setoh, Masaki; Kakihana, INVENTOR(S):

Mitsuru; Okura, Masahiro

Takeda Chemical Industries, Ltd., Japan PATENT ASSIGNEE(S):

PCT Int. Appl., 132 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent English LANGUAGE: 1

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|-----------|----------------|--------------------|-------------------|
| | | | | |
| WO 9855454 | A2 | 19981210 | WO 1998-JP2482 | 19980604 |
| WO 9855454 | | 19990304 | | |
| W: AL, A | M, AU, A2 | Z, BA, BB, BG, | BR, BY, CA, CN, CU | , CZ, EE, GE, GW, |
| HU, I | D, IL, IS | S, KG, KR, KZ, | LC, LK, LR, LT, LV | , MD, MG, MK, MN, |
| MX, N | O, NZ, PI | L, RO, RU, SG, | SI, SK, SL, TJ, TM | , TR, TT, UA, US, |

```
UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES,
             FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
             CM, GA, GN, ML, MR, NE, SN, TD, TG
    AU 9875503
                       Α1
                            19981221
                                            AU 1998-75503
                                                             19980604
     JP 11049765
                       A2
                            19990223
                                            JP 1998-155709
                                                             19980604
                                            EP 1998-923128
                                                             19980604
     EP 988289
                       A2
                            20000329
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, FI
PRIORITY APPLN. INFO .:
                                            JP 1997-148325
                                                              19970605
                                                             19980604
                                            WO 1998-JP2482
                         MARPAT 130:38285
OTHER SOURCE(S):
```

AB Title compds. I [R1, R2 = H, (un)substituted hydrocarbon group; or R1 and R2 form a 3- to 8-membered carbo- or heterocyclic ring which may be substituted; R3 = H, (un)substituted lower alkyl or arom. group; R4 = (un)substituted arom. or araliph. group, or acyl; X , Y = O or S which

be oxidized; benzene ring may be further substituted] and their salts are disclosed. The compds. suppress .beta.-amyloid toxicity, and are thus useful as agents for treating of preventing neurodegenerative diseases such as Alzheimer's disease or Parkinsonism. Prepns. of 33 compds. I and their intermediates are described. For instance, etherification of 3-(4-isopropylphenyl)-2,2,4,6,7-pentamethyl-2,3-dihydrobenzofuran-5-ol with 4-methoxybenzyl chloride using NaH in DMF gave 49% title compd. II. Seven example compds. gave 27.3-47.0% in vitro protection of human neuroblastoma SK-N-SH cells from .beta.-amyloid neurotoxicity.

IT 216989-23-0P, 3-(4-Isopropylphenyl)-2,2,4,6,7-pentamethyl-5-[[4(methylthio)benzyl]oxy]-2,3-dihydrobenzofuran

RL: BAC (Biological activity or effector, except adverse); RCT

SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(product; prepn. of benzofuran derivs. as agents for suppressing neurodegeneration)

RN 216989-23-0 CA

CN Benzofuran,

GT

2,3-dihydro-2,2,4,6,7-pentamethyl-3-[4-(1-methylethyl)phenyl]-5-[[4-(methylthio)phenyl]methoxy]- (9CI) (CA INDEX NAME)

=> d his

```
(FILE 'HOME' ENTERED AT 11:36:19 ON 20 OCT 2000)
```

```
FILE 'REGISTRY' ENTERED AT 11:36:56 ON 20 OCT 2000
L1
                STRUCTURE UPLOADED
L2
              0 S L1
L3
                STRUCTURE UPLOADED
L4
                STRUCTURE UPLOADED
L5
             11 S L4
L6
                STRUCTURE UPLOADED
L7
              0 S L6
L8
              6 S L7 FULL
     FILE 'CA' ENTERED AT 11:43:42 ON 20 OCT 2000
L9
              1 S L8
     FILE 'REGISTRY' ENTERED AT 11:45:03 ON 20 OCT 2000
L10
                STRUCTURE UPLOADED
L11
              0 S L10
L12
                STRUCTURE UPLOADED
L13
              1 S L12
L14
              9 S L13 FULL
     FILE 'CA' ENTERED AT 11:47:19 ON 20 OCT 2000
L15
              1 S L14
L16
             15 S L5 FULL
     FILE 'REGISTRY' ENTERED AT 11:48:29 ON 20 OCT 2000
L17
            190 S L5 FULL
     FILE 'CA' ENTERED AT 11:48:40 ON 20 OCT 2000
L18
             36 S L17
L19
              1 S L18 AND OHKAWA, S?/AU
```

=> s 118 and pd < July 1997

Page 14

14123531 PD < JULY 1997 (PD<19970700)

L20 24 L18 AND PD < JULY 1997

=> d 120, ibib abs fhitstr, 1-24

L20 ANSWER 1 OF 24 CA COPYRIGHT 2000 ACS ACCESSION NUMBER: 129:199315 CA

TITLE: Preparation of herbicidal 2-[(4-

heterocyclylphenoxymethyl)phenoxy]alkanoates

INVENTOR(S): Theodoridis, George

PATENT ASSIGNEE(S): USA

SOURCE: U.S., 27 pp. Cont.-in-part of U.S. 5,674,810.

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-------------------|--------|----------|-----------------|------------|
| | | | | |
| US 5798316 | A | 19980825 | US 1997-865306 | 19970529 |
| US 5262390 | A | 19931116 | US 1992-935601 | 19920826 < |
| US 5344812 | A | 19940906 | US 1993-107560 | 19930817 < |
| US 5674810 | A | 19971007 | US 1995-523991 | 19950905 |
| PRIORITY APPLN. 1 | INFO.: | | US 1992-935601 | 19920826 |
| | | | US 1993-107560 | 19930817 |
| | | | US 1995-523991 | 19950905 |

OTHER SOURCE(S): MARPAT 129:199315

GI

AB Herbicidal 2-[(4-heterocyclylphenoxymethyl)phenoxy]alkanoates, optionally in combination with other herbicides, are disclosed. The herbicidal 2-[(4-heterocyclylphenoxymethyl)phenoxy]alkanoates are I [R = H, (un)substituted lower alkyl, cycloalkyl, lower alkenyl or lower alkynyl, Na, K, NH4, etc.; Rl = lower alkyl, lower haloalkyl, lower cyanoalkyl, lower alkoxyalkyl, lower alkoxyarbonylalkyl, lower arylalkyl or amino; X = H, Me, F or Cl; Z = H, F, Cl, Br, lower alkyl or methoxy; Zl = H, F or Cl; ZZl = (CH2)4; m = 0, 1, 2; n = 1-6]. I are both pre- and postemergent

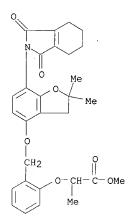
herbicides. The prepn. of I is given. I can be used with either grass-controlling or broadleaf herbicides.

IT 158755-65-8P

RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. as herbicide)

RN 158755-65-8 CA CN

Propanoic acid, 2-[2-[[[7-(1,3,4,5,6,7-hexahydro-1,3-dioxo-2H-isoindol-2yl)-2,3-dihydro-2,2-dimethyl-4-benzofuranyl]oxy]methyl]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)



L20 ANSWER 2 OF 24 CA COPYRIGHT 2000 ACS

ACCESSION NUMBER:

126:221749 CA

TITLE:

Preparation of herbicidal 2-[(4-heterocyclic-

phenoxymethyl)phenoxy]alkanoates

INVENTOR(S): Theodoridis, George FMC Corp., USA

PATENT ASSIGNEE(S): SOURCE:

PCT Int. Appl., 90 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PA' | TENT | NO. | | KI | ND | DATE | | | А | PPLI | CATI | ON NO | ο. | DATE | | | |
|-----|------|-----|-----|-----|-----|------|------|-----|-----|------|------|-------|-----|------|------|-----|-----|
| | | | | | | | | | _ | | | | | | | | |
| WO | 9708 | 953 | | Α | 1 | 1997 | 0313 | | W | 0 19 | 96-U | S141: | 93 | 1996 | 0905 | < | |
| | ₩: | AL, | AM, | ΑT, | ΑU, | ΑZ, | BB, | BG, | BR, | ΒY, | CA, | CH, | CN, | CZ, | DE, | DK, | EE, |
| | | ES, | FΙ, | GB, | GΕ, | ΗU, | IL, | IS, | JP, | KE, | KG, | KP, | KR, | ΚZ, | LK, | LR, | LS, |
| | | LT, | LŲ, | LV, | MD, | MG, | MK, | MN, | MW, | MX, | NO, | NZ, | PL, | PT, | RO, | RU, | SD, |
| | | SE, | SG, | SI, | SK, | ТJ, | TM, | TR, | TT, | UA, | UG, | UZ, | VN, | AM, | AZ, | BY, | KG, |
| | | ΚZ, | MD, | RU, | ТJ, | TM | | | | | | | | | | | |
| | RW: | KE, | LS, | MW, | SD, | SZ, | UG, | ΑT, | BE, | CH, | DE, | DK, | ES, | FI, | FR, | GB, | GR, |
| | | ΙE, | ΙT, | LU, | MC, | NL, | PT, | SE, | BF, | ВJ, | CF, | CG, | CI, | CM, | GA, | GN | |
| US | 5674 | 810 | | Α | | 1997 | 1007 | | U | 5 19 | 95-5 | 2399: | 1 | 1995 | 0905 | | |
| ΑU | 9670 | 140 | | Α | 1 | 1997 | 0327 | | A | J 19 | 96-7 | 0140 | | 1996 | 0905 | < | |

PRIORITY APPLN. INFO.:

US 1995-523991 19950905 WO 1996-US14193 19960905

OTHER SOURCE(S):

MARPAT 126:221749

G]

AB The title herbicidal compds. are I [A = alkanoate deriv. bonded to the phenoxy O at the .alpha.-C; Q = 4-difluoromethyl-4,5-dihydro-3-methyl-1,2,4-triazol-5(1H)-on-1-yl, 3,4,5,6-tetrahydrophthalimid-1-yl, 1-(1-methylethyl)imidazolidin-2,4-dion-3-yl, 1,4-dihydro-4-(3-fluoropropyl)-5H-tetrazol-5-on-1-yl,

3-chloro-4,5,6,7-tetrahydroindazol-2-

yl, 4-methyl-1,2,4-triazine-3,5-dion-2-yl, 8-thia-1,6-

Ι

diazabicyclo[4.3.0]nonane-7-on-9-ylimino or

1-methyl-6-trifluoromethyl-2,4-

pyrimidinedione-3-yl; X = H, Me, F or Cl; W = O or S; Z = H, F, Cl, Br, lower alkyl, or methoxy; Zl = H, F or Cl; AO may be in the 2-, 3-, or 4-position of the Ph ring].

IT 158755-65-8P

RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. as herbicide)

RN 158755-65-8 CA

CN Propanoic acid, 2-[2-[[[7-(1,3,4,5,6,7-hexahydro-1,3-dioxo-2H-isoindol-2-yl)-2,3-dihydro-2,2-dimethyl-4-benzofuranyl]oxy]methyl]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)

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L20 ANSWER 3 OF 24 CA COPYRIGHT 2000 ACS
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ACCESSION NUMBER: 126:211991 CA

TITLE: Enzymic resolution for an improved enantioselective

synthesis of benzofuranyl derivatives: precursors to

class of vitamin E related antioxidants

AUTHOR(S): Ayers, Timothy A.; Schnettler, Richard A.; Marciniak,

Gilbert; Stewart, Kenneth T.; Mishra, Rajesh K.;

Krysan, Damian J.; Bernas, Bradley R.; Bhardwaj,

Poonam; Fevig, Thomas L.

CORPORATE SOURCE: Cincinnati,

Hoechst Marion Roussel Research Institute,

OH, 45215, USA

SOURCE: Tetrahedron: Asymmetry (1997), 8(1), 45-55

CODEN: TASYE3; ISSN: 0957-4166

Elsevier

PUBLISHER:

DOCUMENT TYPE: Journal LANGUAGE: English

Enzymic resoln. of 3-hydroxymethylbenzofurans using Candida rugosa lipase AΒ provides an enantioselective synthesis of vitamin E related antioxidants.

ΙT 183142-43-0P

RL: BPN (Biosynthetic preparation); PUR (Purification or recovery); RCT (Reactant); BIOL (Biological study); PREP (Preparation)

(enzymic resoln. of (hydroxymethyl)benzofurans)

RN 183142-43-0 CA

3-Benzofuranmethanol,

2,3-dihydro-2,2,4,6,7-pentamethyl-5-(phenylmethoxy)-

, (R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

L20 ANSWER 4 OF 24 CA COPYRIGHT 2000 ACS ACCESSION NUMBER: 126:186077 CA

TITLE: Preparation of novel thiazolidinediones having

antidiabetic, hypolipidemic and antihypertensive

properties

Kallam, Anji Reddy; Lohray, Vidya Bhushan; Alla, INVENTOR(S):

Sekhar Reddy; Pingali, Harikishore; Ramanujam,

Rajagopalan; Casturi, Seshagiri Rao

Kallam, Anji Reddy, India; Lohray, Vidya Bhushan; Alla, Sekhar Reddy; Pingali, Harikishore; Ramanujam, PATENT ASSIGNEE(S):

Rajagopalan; Casturi, Seshagiri Rao

SOURCE: Can. Pat. Appl., 62 pp.

CODEN: CPXXEB

DOCUMENT TYPE: Patent. LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE 19961011 19960409 <--CA 2173660 AA CA 1996-2173660 EP 801063 A1 19971015 EP 1996-105590 19960409 R: AT, BE, CH, DE, DK, FR, GB, IT, LI, LU, NL, SE

IN 1995-MA431 PRIORITY APPLN. INFO.: 19950410

MARPAT 126:186077 OTHER SOURCE(S):

GT

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

The title compds. [I; A = (un)substituted unsatd. aliph., alicyclic, AB arom., heterocyclic groups; B = (un)substituted C1-10 divalent alkylene, alkenyl; D = (un)substituted divalent alkenyl, alkynyl, aralkyl, alkoxycarbonyl, aryloxycarbonyl groups; X = CH2, C(O), S, O, etc.; Ar = (un) substituted divalent arom., single or fused ring system, and ring may contain one or more hetero atoms such as N, S, O; R1, R2 = H, a bond, substituent; R1R2 = form a part of a ring; R = H, (un)substituted C1-10 alkenyl, aralkyl, etc.], useful for the treatment of type II diabetes, for

prophylactic treatment of hyperlipidemia, hypertension, cardiovascular diseases including atherosclerosis as well as certain eating disorders, were prepd. Thus, reaction of aldehyde II with 2,4-thiazolidinedione in PhMe contg. piperidine and PhCOOH followed by treatment of the thiazolidine-2,4-dione III with concd. HCl in AcOH afforded IV which showed 43% redn. of RBS (random blood sugar) level in a 9 days treatment of male C57BL/KsJ-db/db mice.

IT 187340-64-3P

RL: BAC (Biological activity or effector, except adverse); RCT
(Reactant);

SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of novel thiazolidinediones having antidiabetic, hypolipidemic and antihypertensive properties)

RN 187340-64-3 CA

CN 2,4-Thiazolidinedione, 5-[[4-[2-[[[2,3-dihydro-2,2,4,6,7-pentamethyl-5-

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{O} \\ \text{Me} \\ \text{CH}_2 - \text{N} - \text{CH}_2 - \text{CH}_2 - \text{O} \\ \text{Me} \\ \text{O} \\ \text{N} \\ \text{H} \\ \end{array}$$

L20 ANSWER 5 OF 24 CA COPYRIGHT 2000 ACS

ACCESSION NUMBER: 125:328500 CA

TITLE: Preparation of 5-hydroxy-2,3-dihydrobenzofurans as

physiological free radical scavengers

INVENTOR(S): Marciniak, Gilbert; Schnettler, Richard A.; Ayers,

Timothy A.; Krysan, Damian J.
PATENT ASSIGNEE(S): Hoechst Marion Roussel, Inc., USA

SOURCE: PCT Int. Appl., 106 pp.

CORDIN DIVIDO

CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PAT | TENT | NO. | | KII | ND. | DATE | | | A. | PPLI | CATI | N NC | ο. | DATE | | | |
|-----|------|-----|-----|-----|-----|------|------|-----|-----|------|------|-------|-----|------|------|-----|-----|
| | | | | | | | | | | | | | | | | | |
| WO | 9628 | 437 | | A. | 1 | 1996 | 0919 | | W | 19 | 96-U | \$183 | 8 | 1996 | 0208 | < | |
| | W: | AL, | ΑM, | ΑT, | ΑU, | ΑZ, | BB, | BG, | BR, | BY, | CA, | CH, | CN, | CZ, | DE, | DK, | EE, |
| | | ES, | FI, | GB, | GE, | HU, | IS, | JP, | ΚE, | KG, | KΡ, | KR, | ΚZ, | LK, | LR, | LS, | LT, |
| | | LU, | LV, | MD, | MG, | MK, | MN, | MW, | ΜX, | NO, | ΝZ, | PL, | PΤ, | RO, | RU, | SD, | SE, |
| | | SG, | SI | | | | | | | | | | | | | | |
| | RW: | ΚE, | LS, | MW, | SD, | SZ, | ŪG, | ΑT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | ΙE, |
| | | ΙT, | LU, | MC, | NL, | PT, | SE, | BF, | ВJ, | CF, | CG, | CI, | CM, | GΑ, | GN, | ML, | MR, |
| | | ΝE, | SN | | | | | | | | | | | | | | |
| EΡ | 7310 | 96 | | A | 1 | 1996 | 0911 | | E | P 19 | 95-4 | 0051 | 8 | 1995 | 0310 | < | |
| | R: | FR | | | | | | | | | | | | | | | |

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AU 9649209
                        A1
                              19961002
                                             AU 1996-49209
                                                               19960208 <--
     AU 695575
                        B2
                              19980813
     EP 813530
                        A1
                              19971229
                                             EP 1996-905455
                                                               19960208
             AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
              IE, SI, LT, LV
     JP 11501655
                        T2
                             19990209
                                             JP 1996-527585
                                                               19960208
     ZA 9601748
                        Α
                             19960910
                                             ZA 1996-1748
                                                               19960304 <--
     US 5698696
                        Α
                             19971216
                                             US 1996-612366
                                                               19960307
     FI 9703644
                        Α
                             19970909
                                             FI 1997-3644
                                                               19970909
     NO 9704155
                        Α
                             19971107
                                             NO 1997-4155
                                                               19970909
     AU 9887012
                        Α1
                             19981112
                                             AU 1998-87012
                                                               19980923
     AU 705004
                        B2
                             19990513
PRIORITY APPLN. INFO.:
                                             EP 1995-400518
                                                               19950310
                                             AU 1996-49209
                                                               19960208
                                             WO 1996-US1838
                                                               19960208
OTHER SOURCE(S):
                         MARPAT 125:328500
GI
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AB Title compds. [I; R = CH2OH, halomethyl, CH2NH2, (4-alkyl)piperazino, etc.; R1, R2 = alkyl; R1R2 = hydrocarbylene; R4, R6 = alkyl; R5 = H, CHO, alkanoyl; R7 = H or alkyl] were prepd. as physiol. free radical scavengers

(no data). Thus, 1,4-dimethoxy-2,3,5-trimethylhydroquinone was cyclocondensed with Me2CBrCOBr and the product converted in 4 steps to I (R = CH2R3, R1 = R2 = R4 = R6 = R7 = Me, R5 = H)(II; R3 = Br) which was aminated by N-methylpiperazine to give II (R3 = 4-methylpiperazino). 183142-43-0P

RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)

(prepn. of 5-hydroxy-2,3-dihydrobenzofurans as physiol. free radical scavengers)

RN 183142-43-0 CA

TΤ

N 3-Benzofuranmethanol,

2,3-dihydro-2,2,4,6,7-pentamethyl-5-(phenylmethoxy)-

, (R)- (9CI) (CA INDEX NAME)

Ι

Absolute stereochemistry. Rotation (+).

L20 ANSWER 6 OF 24 CA COPYRIGHT 2000 ACS 125:114620 CA

ACCESSION NUMBER: TITLE:

Preparation of (imidazolylethyl)benzofuran

derivatives

as 5-lipoxygenase inhibitors

INVENTOR(S):

Hasegawa, Tomoyuki; Hachitani, Katsutoshi; Nanbu,

Fumio; Oonada, Shuichi

PATENT ASSIGNEE(S):

Ono Pharmaceutical Co, Japan

SOURCE:

Jpn. Kokai Tokkyo Koho, 120 pp. CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

JP 08109179

19960430 JP 1994-270614 19941007 <--

IV

MARPAT 125:114620 OTHER SOURCE(S):

A2

GΙ

AB The title compds. [I; A = Cl-8 alkylene; B = 5-7-membered heterocycle contg. 1-2 N atoms; G = OH, Cl-4 alkoxy, dialkylamino, etc.; R1, R2 = DE (wherein D = bond, Cl-8 alkylene, etc.; E = OH, Cl-4 alkyl, cyano, alkoxycarbonyl, etc.); R4, R5 = H, Cl-8 alkyl, DE, etc.; n = 1-3], effective in treating and preventing thrombosis, atherosclerosis, etc., are prepd. and formulated. Mesylation of ethanol deriv. II (R = OH) (prepn. given) gave mesylate II (R = MeSO3), which was heated with imidazole in toluene with stirring at 100.degree. to give imidazole deriv.

II (R = 1-imidazolyl) (III). Hydrolysis of III with 4N HCl in MeOH gave diol salt IV, which showed 59% and 96% inhibition against LTB4 and TXB2, resp., at .mu.M.

IT 174856-27-0P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of (imidazolylethyl)benzofuran derivs. as 5-lipoxygenase inhibitors)

RN 174856-27-0 CA

CN 1H-Imidazole,

$$\begin{array}{c} N \\ N \\ CH_2 \\ CH_2 \\ Me \\ Me \\ CH_2-O-CH_2-OMe \\ \\ Ph-CH_2-O \\ \\ i-Pr \end{array}$$

L20 ANSWER 7 OF 24 CA COPYRIGHT 2000 ACS

ACCESSION NUMBER:

124:261034 CA

TITLE:

Preparation and formulation of

dihydrobenzofuranylalkylimidazoles and analogs as

antiinflammatory agents, antioxidants, and

thromboxane

A2 synthetase inhibitors

INVENTOR(S):

Hasegawa, Tomoyuki; Hachitani, Katsutoshi; Nanbu,

Fumio; Oonada, Shuichi

PATENT ASSIGNEE(S):

Ono Pharmaceutical Co, Japan

SOURCE:

Jpn. Kokai Tokkyo Koho, 55 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.

KIND DATE

APPLICATION NO.

DATE

JP 07316150

A2 19951205

JP 1994-133575

19940524 <--

OTHER SOURCE(S):

MARPAT 124:261034

GΙ

i

Ι

ΙI

- AB The title compds. I [R1, R2 = H, halo, etc.; A = alkylene, etc.; B = N-contg. heterocyclic ring; R3 = H, acyl, etc.; R4 = H, alkyl, phenylalkyl; R5 = DE; D = alkylene, etc.; E = NR9R10, etc.; R9, R10 = H, alkyl, etc.; n = 1 3] are prepd. The title compd. II.HCl was prepd. in a multistep process starting from 2-(2-pivaloyloxyethyl)-3-methyl-4-acetyloxy-5-isopropyl-6-(2-methyl-2-propenyl)phenol. II.HCl in vitro at 10 .mu.M gave 96% inhibition of thromboxane B2 formation.
- IT 174856-27-0P
 RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of dihydrobenzofuranylalkylimidazoles and analogs as antiinflammatory agents, antioxidants, and thromboxane A2 synthetase inhibitors)

- RN 174856-27-0 CA
- CN 1H-Imidazole,

$$\begin{array}{c|c} N \\ N \\ CH2 \\ CH2 \\ Me \\ CH_2 - O - CH_2 - OMe \\ \hline \\ Ph - CH_2 - O \\ \hline \\ i - Pr \\ \end{array}$$

L20 ANSWER 8 OF 24 CA COPYRIGHT 2000 ACS

ACCESSION NUMBER: 124:231979 CA

Contrasting reactivity in Lewis acid-promoted TITLE:

reactions of thio- and silyl-allenes with

1,4-benzoquinones

AUTHOR(S): Engler, Thomas A.; Agrios, Konstantinos; Reddy,

Jayachandra P.; Iyengar, Rajesh

CORPORATE SOURCE: Dep. Chemistry, Univ. Kansas, Lawrence, KS,

66045-0046, USA

Tetrahedron Lett. (1996), 37(3), 327-30 SOURCE:

CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal LANGUAGE:

English CASREACT 124:231979 OTHER SOURCE(S):

In Ti(IV)-promoted reactions with 2-methoxy-1,4-benzoquinones,

thioallenes

Me2C:C:CHSR (R = m-anisyl, Ph) give 2 + 2 and/or 3 + 2 products via attack

on a C=C moiety of the quinone, whereas silylallene CH2:C:CMeSiMe3 gives products derived from attack on a carbonyl group of the quinone.

TΤ 174678-60-5P

RL: SPN (Synthetic preparation); PREP (Preparation)

(contrasting reactivity in Lewis acid-promoted reactions of thio- and silylallenes with benzoquinones)

RN 174678-60-5 CA

CN 5-Benzofuranol, 2,3-dihydro-3-[[(3-methoxyphenyl)thio]methylene]-2,2dimethyl-6-(phenylmethoxy)-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

ACCESSION NUMBER:

L20 ANSWER 9 OF 24 CA COPYRIGHT 2000 ACS 123:82949 CA

TITLE:

Methods of producing arylcarboxylic ester derivatives

as antihypercholesteremic agents

INVENTOR(S):

Watanabe, Masakatsu; Watanabe, Nobuko; Mori, Eiko;

Kobayashi, Hisako; Ikawa, Hiroshi

PATENT ASSIGNEE(S):

Fujirebio, Inc., Japan Eur. Pat. Appl., 70 pp.

SOURCE:

CODEN: EPXXDW

DOCUMENT TYPE:

Patent English

LANGUAGE: FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| | PA' | FENT | NO. | | KI | ND | DATE | | | AI | PLI | CATIO | ON NO | ٥. | DATE | ; | | |
|-------|-----|-------|---------|------|-----|-----|------|------|------|-----|-----|-------|-------|-----|------|------|-----|-----|
| | | | | | | | | | | | | | | | | | | |
| | ΕP | 6430 | 054 | | A. | 2 | 1995 | 0315 | | E | 19 | 94-13 | 1245 | 5 | 1994 | 0809 | < | |
| | ΕP | 6430 | 054 | | A | 3 | 1995 | 0503 | | | | | | | | | | |
| | | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IE, | IT, | LI, | LU, | MC, | NL, | PT, |
| SE | | | - | | | | | | | - | | | | | | | | - |
| | JΡ | 0710 | 1905 | | A. | 2 | 1995 | 0418 | | JI | 19 | 94-20 | 0794 | 4 | 1994 | 0809 | < | |
| | US | 5483 | 1009 | | Α | | 1996 | 0102 | | US | 19 | 94-28 | 3819 | 7 | 1994 | 0809 | < | |
| | JP | 0713 | L2950 | | A. | 2 | 1995 | 0502 | | JI | 19 | 94-23 | 22689 | 9 | 1994 | 0825 | < | |
| | US | 5599 | 9952 | | Α | | 1997 | 0204 | | US | 19 | 95-4 | 44372 | 2 | 1995 | 0518 | < | |
| PRIO | RIT | Y API | PLN. | INFO | . : | | | | | JI | 19 | 93-2 | 14812 | 2 | 1993 | 0809 | | |
| | | | | | | | | | | JI | 19 | 93-23 | 30769 | 9 | 1993 | 0825 | | |
| | | | | | | | | | | US | 19 | 94-28 | 3819 | 7 | 1994 | 0809 | | |
| 0.000 | | | 7 / 0 \ | | | | | 100 | 2004 | ` | | | | | | | | |

OTHER SOURCE(S):

MARPAT 123:82949

GI

AB Title compds. R4CH(OH)CH2CH(R10)CH2CH(R20)CH2CO2R3 (I) and R4CH:CHCH(R10)CH2CH(R20)CH2CO2R3 (II) (R1, R2 = hydroxy protectant; R1R2

hydroxy protectant; R3 = C1-12 alkyl, aryl; R4 = aryl, heterocyclyl, vinyl, cycloalkenyl all substituted) having an inhibitory effect on HMG-CoA reductase (3-hydroxy-3-methylglutaryl-COA reductase) are prepd.

are prepd. by reacting a formyl(substituted hydroxy)alkanecarboxylate ester wit an organometallic reagent and II are prepd. by subjecting I to dehydration. Intermediates for I and II were also prepd. (E)-I (R1R2 = 3,5-O-isopropylidene, R3 = Et, R4 = [4'-fluoro-5,6-dimethoxy-3(propan-2-yl)biphenyl-2-yl]) (prepn. given) was dehydrated and this was deprotected,

and the deprotected compd. in EtOH was reacted with NaOH to give the

compd. III. In a test for biol. activity III at 0.3 mg/kg inhibited 73% sterol synthesis in rats, compared to 16 and 41% for pravastatin and simvastatin, resp.

IT 159463-06-6P

Ι

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(methods of producing arylcarboxylic ester derivs. as antihypercholesteremic agents)

RN 159463-06-6 CA

CN 6-Heptenoic acid, 7-[6-(4-fluorophenyl)-2,3-dihydro-2,2-dimethyl-4-(1-methylethyl)-7-(phenylmethoxy)-5-benzofuranyl]-3,5-dihydroxy-, ethyl ester

(9CI) (CA INDEX NAME)

L20 ANSWER 10 OF 24 CA COPYRIGHT 2000 ACS

ACCESSION NUMBER: 122:314550 CA

TITLE: Preparation of (imidazolylalkyl)benzofurans and

analogs as TXA2 synthetase and 5-lipoxygenase

inhibitors and oxygen scavengers

INVENTOR(S): Ohuchida, Shuichi; Nambu, Fumio; Toda, Masaaki

PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan

SOURCE: Eur. Pat. Appl., 151 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| F | PAT | ENT | NO. | | KI | ND | DATE | | | API | LIC | ATIC | N NO | ٥. | DATE | | | |
|--------|-----|------|------|-------|-----|-----|------|------|-----|-------|-----|--------|-------|-----|------|------|-----|-----|
| - | | | | | | | | | | | | | | | | | | |
| E | EΡ | 6406 | 09 | | A. | 1 | 1995 | 0301 | | EΡ | 199 | 4 - 30 | 6175 | 5 | 1994 | 0822 | < | |
| | | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, G | SR, | ΙE, | ΙT, | LI, | LU, | MC, | NL, | PT, |
| SE | | | | | | | | | | | | | | | | | | |
| | CA | 2117 | 551 | | A. | A | 1995 | 0225 | | CA | 199 | 4-21 | .175 | 51 | 1994 | 0823 | < | |
| J | JΡ | 0711 | 2980 | | A2 | 2 | 1995 | 0502 | | JΡ | 199 | 4-22 | 21003 | 3 | 1994 | 0823 | < | |
| Ţ | JS | 5534 | 536 | | Α | | 1996 | 0709 | | US | 199 | 4-29 | 94015 | 5 | 1994 | 0823 | < | |
| | CN | 1110 | 969 | | Α | | 1995 | 1101 | | CN | 199 | 4-11 | .733(|) | 1994 | 0824 | < | |
| Ţ | JS | 5750 | 544 | | Α | | 1998 | 0512 | | US | 199 | 6-63 | 35318 | 3 | 1996 | 0419 | | |
| PRIORI | ITY | APP | LN. | INFO. | : | | | | | JP | 199 | 3-23 | 31004 | 4 | 1993 | 0824 | | |
| | | | | | | | | | | US | 199 | 4-29 | 94015 | 5 | 1994 | 0823 | | |

OTHER SOURCE(S): MARPAT 122:314550

GΙ

AB Title compds. [I; R = OH, alkoxy, OBz, (di)(alkyl)amino, etc.; R1,R2 = H, halo, (cyclo)alkyl, alkoxy, etc.; R3 = 1 or 2 N-contg. heterocyclyl; R4,R5

= H, (phenyl)alkyl; CR4R5 = cycloalkyl; Z = alk(en)ylene, alkyleneoxy, (CH2)1-60Z1; Z1 = 1,4-phenylene; n = 1-3] were prepd. Thus, title compd. II.HCl, prepd. in 14 steps from 3-isopropyl-5-methylphenol, gave 74 and 92% inhibition of LTB4 and TXB2 prodn. in whole human blood at 10.mu.M in vitro.

, IT 162963-26-0P

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. of (imidazolylalkyl)benzofurans and analogs as TXA2 synthetase and 5-lipoxygenase inhibitors and oxygen scavengers)

RN162963~26-0 CA

4-Benzofuranpropanol, 2,3-dihydro-.alpha.,.alpha.,2,2,6-pentamethyl-5-CN (phenylmethoxy) - (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & \text{Me} \\ \text{O} & \text{Me} \\ \text{OH} & \text{OH} \\ \text{CH}_2\text{--}\text{CH}_2\text{--}\text{C--}\text{Me} \\ \\ \text{Me} & \text{Me} \end{array}$$

L20 ANSWER 11 OF 24 CA COPYRIGHT 2000 ACS

ACCESSION NUMBER:

122:160427 CA

TITLE:

Synthesis of a new type of antioxidant possessing

AUTHOR(S):

inhibitory activity against HMG-CoA reductase Matsumoto, Masakatsu; Watanabe, Nobuko; Mori, Eiko;

Aoyama, Misao; Kusunoki, Jun; Yamaura, Tetsuaki

CORPORATE SOURCE:

Dep. Materials Sci., Kanagawa Univ., Kanagawa,

259-12,

SOURCE:

Heterocycles (1994), 38(12), 2589-92

CODEN: HTCYAM; ISSN: 0385-5414

DOCUMENT TYPE: OTHER SOURCE(S): Journal English

LANGUAGE:

CASREACT 122:160427

The 6-hydroxychromans and 5-hydroxy-2,3-dihydrobenzo[b] furans bearing a AB 4-hydroxypyran-2-one moiety were synthesized. All the compds. exhibited potent activity against lipid peroxidn.

IT 137418-50-9P

RL: SPN (Synthetic preparation); PREP (Preparation)

(synthesis of a new type of antioxidant possessing inhibitory activity against HMG-CoA reductase)

RN 137418-50-9 CA

7-Benzofuranpropanal, 2,3-dihydro-2,2,4,6-tetramethyl-5-(phenylmethoxy)-CN (9CI) (CA INDEX NAME)

L20 ANSWER 12 OF 24 CA COPYRIGHT 2000 ACS

ACCESSION NUMBER:

122:9671 CA

TITLE:

Preparation of 4-fluorobiphenyl derivatives as

cholesterol lowering agents.

INVENTOR(S):

Matsumoto, Masakatsu; Watanabe, Nobuko; Mori, Eiko;

Ichihara, Miwa; Yamaura, Tetsuaki; Aoyama, Misao; Ikawa, Hiroshi; Kobayashi, Hisako

PATENT ASSIGNEE(S):

Fujirebio Inc., Japan Eur. Pat. Appl., 80 pp.

SOURCE:

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| | PA' | TENT | NO. | | KI | ND | DATE | | | AΡ | PLI | CATI | ON NO | Ο. | DATE | | | |
|-------|------|-------|------|------|-----|-----|------|-------|------|-----|-----|------|-------|-----|------|------|-----|-----|
| | | | | | | | | | | | | | | | | | | |
| | EΡ | 6170 | 00 | | A | 2 | 1994 | 0928 | | EP | 19 | 94-1 | 0491 | 4 | 1994 | 0328 | < | |
| | EΡ | 6170 | 00 | | Α | 3 | 1994 | 1102 | | | | | | | | | | |
| | | R: | ΑT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | ΙE, | ΙT, | LI, | LU, | MC, | NL, | PT, |
| SE | | | | | | | | | | | | | | | | | | |
| | JΡ | 0632 | 9582 | | A. | 2 | 1994 | 1129 | | JΡ | 19 | 94-7 | 9350 | | 1994 | 0325 | < | |
| | US | 5523 | 460 | | Α | | 1996 | 0604 | | US | 19 | 94-2 | 18186 | 5 | 1994 | 0328 | < | |
| PRIOR | RIT | Y APF | LN. | INFO | : | | | | | JΡ | 19 | 93-9 | 0557 | | 1993 | 0326 | | |
| OTHER | R SC | DURCE | (S): | | | MAF | RPAT | 122:9 | 9671 | | | | | | | | | |
| GT | | | | | | | | | | | | | | | | | | |

Ι

AB Title compds. I (A = .omega.-oxycarbonyldihydroxybutyl, 4-hydroxy-2-oxotetrahydropyranyl, alk. metal, alk. earth metal, .omega.-oxycarbonyl-3-oxobutyl, HCO, NC; R1 = halo, (substituted) C1-6 alkyl, HO, (substituted) C1-6 alkoxy; R2, R3 = H, (substituted) C1-6

alkyl, etc.) are prepd. (E)-I (A = EtO2CCH2CH(OH)CH2CH(OH)CH:CH, R1 = MeO, R2 = R3 = H) Na salt (prepn. given) in DMF was reacted with MeI and K2CO3 to give (E)-I (A = EtO2CCH2CH(OH)CH2CH(OH)CH:CH, R1 = R2 = Me, R3 = H) which at 0.3/5mL/kg in rats inhibited sterol synthesis 71%.

IT 159463-03-3P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 4-fluorobiphenyl derivs. as cholesterol lowering agents.)

RN 159463-03-3 CA

CN 2-Propenenitrile, 3-[6-(4-fluorophenyl)-2,3-dihydro-2,2-dimethyl-4-(1methylethyl)-7-(phenylmethoxy)-5-benzofuranyl]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L20 ANSWER 13 OF 24 CA COPYRIGHT 2000 ACS

ACCESSION NUMBER:

121:248647 CA

TITLE:

Herbicidal 2-((4-heterocyclic-phenoxymethyl)phenoxy)-

alkanoates

INVENTOR(S):

Theodoridis, George

PATENT ASSIGNEE(S):

FMC Corp., USA

SOURCE:

U.S., 26 pp. Cont.-in-part of U.S. 5,262,390.

CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----------------------|------|----------|-----------------|------------|
| | | | | ~ |
| US 5344812 | A | 19940906 | US 1993-107560 | 19930817 < |
| US 5262390 | A | 19931116 | US 1992-935601 | 19920826 < |
| US 5798316 | Α | 19980825 | US 1997-865306 | 19970529 |
| PRIORITY APPLN. INFO | . : | | US 1992-935601 | 19920826 |
| | | | US 1993-107560 | 19930817 |
| | | | US 1995-523991 | 19950905 |

OTHER SOURCE(S):

MARPAT 121:248647

GΙ

Ρ

$$Z$$
 CH_2W
 Q

AB Herbicidal compds., compns. contg. them, and a method for controlling weeds by application of the compns. are disclosed. The herbicidal compds.

are 2-[(4-heterocyclic-phenoxymethyl)phenoxy]alkanoates (I) in which A is a deriv. of an alkanoate bonded to the phenoxy oxygen at the alpha

carbon,
 and Q is 4-difluoromethyl-4,5-dihydro-3-methyl-1,2,4-triazol-5(1H)-on-1 yl, 3,4,5,6-tetrahydrophthalimid-1-yl, 1,(1-methylethyl)imidazolidin-2,4 dion-3-yl, 1,4-dihydro-4-(3-fluoropropyl)-5H-tetrazol-5-on-1-yl,
 3-chloro-4,5,6,7-tetrahydroindazol-2-yl,

4-methyl-1,2,4-triazine-3,5-dion-

2-yl, 8-thia-1,6-diazabicyclo[4.3.0]-nonane-7-on-9-ylimino, or 1-methyl-6-trifluoromethyl-2,4-pyrimidinedione-3-yl; X is H, Me, F, or

Cl;

Y is H; W is O or S; Z is H, F, Cl, Br, lower alkyl, or methoxy; Z1 is H, F, or Cl; and the group AO-may be in the 2,3 or 4-position of the Ph ring.

IT 158755-65-8P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (prepn. and postemergence herbicidal activity of)

RN 158755-65-8 CA

CN Propanoic acid, 2-[2-[[[7-(1,3,4,5,6,7-hexahydro-1,3-dioxo-2H-isoindol-2-y1)-2,3-dihydro-2,2-dimethyl-4-benzofuranyl]oxy]methyl]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)

L20 ANSWER 14 OF 24 CA COPYRIGHT 2000 ACS

ACCESSION NUMBER: 120:270406 CA

TITLE: 2-[(4-Triazolonylphenoxymethyl)phenoxy]alkanoate

herbicides

INVENTOR(S): Theodoridis, George
PATENT ASSIGNEE(S): FMC Corp., USA
SOURCE: U.S., 24 pp.

U.S., 24 pp. CODEN: USXXAM

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 4

PATENT INFORMATION:

| PATENT NO. | | | KIND DATE | | | A | APPLICATION NO. | | | | DATE | | | | | | | |
|------------------|---------------------------------------|-------|-----------|--------------|-----|----------------------------------|-----------------|------|----------------|--|-------|-------|--------|----------|------|------|-----|-----|
| | US 5262390
US 5344812
IL 106734 | | | A
A
Al | | 19931116
19940906
19981227 | | | U:
U:
I: | US 1992-935601
US 1993-107560
IL 1993-106734 | | | 0
4 | 19930817 | | < | | |
| | WO | | | | A1 | | 19940303 | | W | 0 19 | 93-U | S783 | 7 | 1993 | 0825 | < | | |
| | | W: | ΑT, | ΑU, | BB, | ΒG, | BR, | BY, | CA, | CH, | CZ, | DE, | DK, | ES, | fΙ, | GB, | HU, | JP, |
| | | | KΡ, | KR, | ΚZ, | LK, | LU, | MG, | MN, | MW, | NL, | NO, | NZ, | PL, | PT, | RO, | RU, | SD, |
| | | | | SK, | | | | | | | | | | | | | | |
| | | RW: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | ΙE, | ΙT, | LU, | MC, | NL, | PT, | SE, |
| | | 65.60 | BF, | ВJ, | CF, | CG, | CI, | CM, | GΑ, | GN, | ML, | MR, | NE, | SN, | TD, | TG | | |
| | EP | 6568 | 92 | | Α | 1 | 1995 | 0614 | | El | P 19 | 93-9 | 2023 | 4 | 1993 | 0825 | < | |
| SE | | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | ΙE, | IT, | LI, | LU, | MC, | NL, | PT, |
| J. | нп | 7089 | 0 | | Δ. | 2 | 1995 | 1128 | | uı | 1 10 | 95_6 | u 3 | | 1993 | none | | |
| | | 0850 | | | | | 1996 | 0227 | | | | | | | 1993 | | | |
| | | 2652 | | | | | 1997 | | | 01 | | JJ-J | 0054 | U | 1993 | 0023 | \ | |
| | | 6713 | | | | | | | | 14 | 1 19 | 93-5 | ายรร | | 1993 | 0825 | / | |
| | CZ | 2824 | | | | | 1997 | | | CZ | 7. 19 | 95-5 | 18 | | 1993 | 0825 | ` | |
| | PL | 1725 | 88 | | В | | 1997 | | | PI | 19 | 93-3 | 07728 | 3 | 1993 | 0825 | | |
| | CA | 2143 | 323 | | С | | 1997: | 1223 | | | | 93-2 | | | 1993 | | | |
| | RU | 2113 | 434 | | C: | l | 19980 | 0620 | | | | 95-1 | | | 1993 | | | |
| | | 1142 | | • | В: | | 19990 | 0226 | | | | | | | 1993 | | | |
| | CN | 1083 | 479 | | Α | | 19940 | 0309 | | Cì | N 19 | 93-1 | 1697 | l | 1993 | 0826 | < | |
| | CN | 1035 | 434 | | В | | 19970 | 0716 | | ٠ | | | | | | | | |
| | ZA | 9306 | 274 | | Α | | 19940 | 0316 | | z_{I} | 19 | 93-6 | 274 | | 1993 | 0826 | < | |
| | | 9500 | | | Α | | 1995(| 0420 | | FI | 19 | 95-8 | 65 | | 1995 | 0224 | < | |
| | | 9500 | | | Α | | 1995(| | | | 19 | 95-7 |)5 | | 1995 | 0224 | < | |
| | | 5798 | | | Α | | 19980 | 0825 | | US | 19 | 97-8 | 65306 | 5 | 1997 | 0529 | | |
| PRIOR | RITY | APP: | LN. | INFO. | : | | | | | | | 92-93 | | | 1992 | 0826 | | |
| | | | | | | | | | | | | 93-10 | | | 1993 | | | |
| | | | | | | | | | | | | | | | 1993 | | | |
| OBURD GOUDGE (C) | | | | | | | | 19 | 95-52 | 23991 | L | 1995 | 0905 | | | | | |

OTHER SOURCE(S): M

MARPAT 120:270406

Me
$$\sim$$
 CH₂O \sim NCHF₂ \sim NCHF₂ \sim OC (Me) HCO₂Me

AB The title compds. [I; R1 = H, Me; R2 = OR, NH2, PhNH, alkylamino, alkenylamino, alkoxyamino, CN, etc.; R = lower alkyl, etc.; W = O, S; X, Z1 = H, F, Cl; Z = H, F, Cl, Br, lower alkyl, MeO], useful in controlling unwanted plant growth such as grassy or broadleaf plant species, are prepd. Thus, triazolone II, prepd. from 3,4-difluoronitrobenzene in 9 steps, demonstrated pronounced herbicidal activity against a wide variety of plant species.

II

Ι

IT 154080-59-8P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and herbicidal activity)

RN 154080-59-8 CA

CN Propanoic acid, 2-[2-[[[4-(1,3,4,5,6,7-hexahydro-1,3-dioxo-2H-isoindol-2-y1)-2,3-dihydro-2,2-dimethyl-7-benzofuranyl]oxy]methyl]phenoxy]-, methyl ester (9CI) (CA INDEX NAME)

L20 ANSWER 15 OF 24 CA COPYRIGHT 2000 ACS

ACCESSION NUMBER:

119:225796 CA '

TITLE:

Preparation of 7-(2,3-dihydrobenzo[b]furan-7-yl)-3,5-

dihydroxyheptanoic acid derivatives as

3-hydroxy-3-methylglutaryl (HMG)-coenzyme A reductase

inhibitors

INVENTOR(S):

Matsumoto, Masakatsu; Watanabe, Nobuko; Mori, Hideko

Fujirebio Kk, Japan

SOURCE:

Jpn. Kokai Tokkyo Koho, 22 pp.

DOCUMENT TYPE:

CODEN: JKXXAF Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT ASSIGNEE(S):

KIND DATE APPLICATION NO. DATE PATENT NO. 19930302 19910821 <--JP 05051372 A2 JP 1991-232477 В2 19990317

JP 2870244 OTHER SOURCE(S):

MARPAT 119:225796

GΙ

Title compds. [I; R1 = H, alkali or alk. earth metal; R2, R3 = H, lower AB alkyl; R4 = H, lower alkyl or alkenyl, aryl, aralkyl, acyl, aroyl, (un) substituted sulfonyl], useful as anticholesteremics and for the treatment of arteriosclerosis, are prepd. Thus, aldol condensation of aldehyde (II; R = CHO) (prepn. given) with MeCOCH2CO2Et in the presence

of

NaH in THF and redn.-cyclization (lactonization) of the resulting II [R = CH(OH)CH2COCH2CO2Et] by treatment with pivalic acid and Et3B in THF at -78.degree. at room temp., cooling to -78.degree., addn. of MeOH, redn. with NaBH4, oxidn. with 30% H2O2, acidification with 1 N HCl, and

refluxing the intermediate in PhMe gave II (R = Q) which was sapond. with 1 N aq. NaOH in aq. acetone to give I (R1 = Na, R2 = iso-Pr, R3 = Me, R4

PhCH2) (III). III was 2.7 times more effective in reducing the serum cholesterol level than compactin (ML-236B) in Triton-induced hyperlipidemic rats.

IT 150552-27-5P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as hydroxymethylglutaryl CoA reductase inhibitor and anticholesteremic)

RN 150552-27-5 CA

7-Benzofuranheptanoic acid, 2,3-dihydro-.beta.,.delta.-dihydroxy-2,2,4-CN trimethyl-6-(1-methylethyl)-5-(phenylmethoxy)-, monosodium salt, $(R^*,R^*)-$ (9CI) (CA INDEX NAME)

Relative stereochemistry.

Na

L20 ANSWER 16 OF 24 CA COPYRIGHT 2000 ACS

ACCESSION NUMBER:

119:139070 CA Preparation of benzofuran derivatives TITLE:

Matsumoto, Masakatsu; Watanabe, Nobuko; Mori, Hideko INVENTOR(S):

PATENT ASSIGNEE(S):

Fujirebio, Inc., Japan Jpn. Kokai Tokkyo Koho, 36 pp. SOURCE:

CODEN: JKXXAF

DOCUMENT TYPE: Patent Japanese

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE JP 04300878 19921023 JP 1991-87229 19910328 <--A2

MARPAT 119:139070 OTHER SOURCE(S):

$$R^{1}$$
 R^{2} R^{4} R^{3} R^{4} R^{3} R^{4} R^{4

Benzofuran derivs. [I; R1 = H, halo, HCO, CH2CH2CHO, etc.; R2, R3 = H, alkyl; R4 = H, alkyl, alkenyl, aryl, aralkyl, acyl, aroyl, substituted sulfonyl], useful as intermediates for HMG-CoA reductase inhibitors, are prepd. Hydroquinone deriv. II (13.2 g) (prepn. given) was dissolved in CH2Cl2 and stirred with BF3-Et2O at 0.degree. under Ar to give 12.3 g I (R1 = R4 = H, R2 = Me2CH, R3 = Me), which was refluxed with PhCH2Br and K2CO3 in DMF-DME under Ar to give 10.8 g benzyl ether I (R4 = PhCH2, others remain unchanged).

IT 137443-49-3

RL: RCT (Reactant)

(intermediates for, benzofuran derivs. as)

RN 137443-49-3 CA

CN 2H-Pyran-2-one, 6-[2-[2,3-dihydro-2,2,4-trimethyl-6-(1-methylethyl)-5-(phenylmethoxy)-7-benzofuranyl]ethyl]tetrahydro-4-hydroxy-, trans-(9CI) (CA INDEX NAME)

Relative stereochemistry.

L20 ANSWER 17 OF 24 CA COPYRIGHT 2000 ACS

ACCESSION NUMBER:

119:116957 CA

TITLE:

Preparation of propenylhydroquinone and

propenylbenzoquinone derivatives

INVENTOR(S):
PATENT ASSIGNEE(S):

Matsumoto, Masakatsu; Watanabe, Nobuko; Mori, Hideko

Fujirebio, Inc., Japan

SOURCE:

Jpn. Kokai Tokkyo Koho, 30 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

JP 04356435 A2 19921210 JP 1991-47443 19910221 <--

OTHER SOURCE(S): MARPAT 119:116957

GI

AB The title compds., e.g., I, II, useful as intermediates for HMG-CoA reductase inhibitors, are prepd. Heating a soln. of methallyl ether III in PhNEt2 at 200-210.degree. under Ar gave a 3:2 mixt. of IV and V, which was oxidized over salcomin at 0.degree. atm. to give a 2:1 mixt. of II

and

its isomer. Redn. of the above mixt, with NaBH4 in CH2Cl2-MeOH at 0.degree, under Ar gave an $8:1\ \mathrm{mixt}.$ of I and its isomer.

IT 137443-41-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and reaction of, in prepn. of HMG-CoA reductase inhibitor intermediate)

RN 137443-41-5 CA

CN Benzofuran, 2,3-dihydro-2,2,4-trimethyl-6-(1-methylethyl)-5-(phenylmethoxy)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{i-Pr} \\ \text{Ph-CH}_2 - \text{O} \end{array} \begin{array}{c} \text{Me} \\ \text{Me} \end{array}$$

L20 ANSWER 18 OF 24 CA COPYRIGHT 2000 ACS

115:256433 CA ACCESSION NUMBER:

Preparation of 6-(7-benzofuranylethyl)-4-

TITLE: hydroxytetrahydropyran-2-ones and analogs as HMG-CoA

reductase inhibitors

Matsumoto, Masakatsu; Watanabe, Nobuko; Mori, Eiko; INVENTOR(S):

Kusunoki, Jun Fujirebio, Inc., Japan Eur. Pat. Appl., 106 pp. PATENT ASSIGNEE(S): SOURCE:

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| | PAI | ENT N | ο. | | KIND | DATE | | API | PLICATION NO. | DATE | |
|-------|-----|--------|------|------|--------|-----------|----|-----|---------------|----------|---|
| | EP | 44582 | 7 | | A2 | 19910911 | | EΡ | 1991-103526 | 19910307 | < |
| | ΕP | 44582 | 7 | | A3 | 19920527 | | | | | |
| | ΕP | 44582 | 7 | | B1 | 19960925 | | | | | |
| | | R: | CH, | DE, | FR, GB | , IT, LI, | NL | | | | |
| | JΡ | 03258 | 778 | | A2 | 19911119 | | JΡ | 1990-53489 | 19900307 | < |
| | JΡ | 28384 | 30 | | B2 | 19981216 | | | | | |
| | JΡ | 04036 | 280 | | A2 | 19920206 | | JP | 1990-141492 | 19900601 | < |
| | JΡ | 28774 | 46 | | B2 | 19990331 | | | | | |
| | JΡ | 04036 | 260 | | A2 | 19920206 | | JP | 1990-141493 | 19900601 | < |
| | JΡ | 04066 | 581 | | A2 | 19920302 | | JP | 1990-175392 | 19900704 | < |
| | US | 51498 | 34 | | A | 19920922 | | US | 1991-665666 | 19910307 | < |
| PRIOR | IT | Y APPL | Ν. : | INFO | . : | | | JP | 1990-53489 | 19900307 | |
| | | | | | | | | JΡ | 1990-141492 | 19900601 | |
| | | | | | | | | JΡ | 1990-141493 | 19900601 | |
| | | | | | | | | JΡ | 1990-175392 | 19900704 | |

MARPAT 115:256433 OTHER SOURCE(S):

Title compds. [I; A = CH2CH2, CH:CH; R1 = H, 2-tetrahydropyranyl; R2,R3 = AB H, alkyl; R4 = H, (ar) alkyl, acyl, etc.; n = 1,2] were prepd. 3,5-Me(Me2CH)C6H3OH was O-alkylated with C1CH2CMe:CH2 and the Claisen-rearranged product converted in 3 steps to benzofuran II (R = CH2CH2CHO, R4 = PhCH2) which was condensed with MeCOCH2CO2Et to give II

ſR = CH2CH2CH(OH)CH2COCH2CO2Et, R4 = PhCH2]. The latter was cyclized to give, after O-dealkylation and O-acylation, I (A = CH2CH2, R = H, R2 = $\tilde{C}HMe2$, R3 = Me, R4 = Bz, n = 1) which gave 2.2 times the redn. of serum cholesterol as compactin (doses not given) in hyperlipemic rats.

ΙŤ 137418-48-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and reaction of, in prepn. of HMG-CoA reductase inhibitors)

RN

137418-48-5 CA
Benzofuran, 2,3-dihydro-2,2,4,6-tetramethyl-5-(phenylmethoxy)-7-(2-CN propenyl) - (9CI) (CA INDEX NAME)

L20 ANSWER 19 OF 24 CA COPYRIGHT 2000 ACS

ACCESSION NUMBER:

115:183329 CA

TITLE:

Preparation of 1-[(benzofuranyl or

benzoxathiinyl)methyl]imidazoles for treating liver

diseases

INVENTOR(S):

Matsuo, Kyoko; Sakane, Soichi; Shiono, Manzo;

Yamahara, Joji

PATENT ASSIGNEE(S):

Kuraray Co., Ltd., Japan Jpn. Kokai Tokkyo Koho, 8 pp.

SOURCE:

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

JP 03066685 A2 19910322 JP 1989-203529 19890805 <--

OTHER SOURCE(S): MARPAT 115:183329

GI

$$\begin{array}{c} \text{Me} \\ \text{HO} \\ \text{Me} \\ \\ \text{Me} \\ \\ \text{N} \end{array}$$

AB The title compds. I (R = H, lower alkyl; n = 0, 1) or their pharmacol. acceptable salts are prepd. A mixt. of

2,3-dihydro-2,4,6,7-tetramethyl-2-

(p-toluenesulfonyloxymethyl)benzofuran-5-ol and imidazole in toluene was refluxed for 30 min to give 81% I (R = Me, n = 0) (II). II inhibited increase of glutamic-oxaloacetic transaminase and glutamic-pyruvic transaminase activities in mice with CCl4-induced liver failure. A

compn.
contq. II 100, corn starch 145, carboxycellulose 40,

poly(vinylpyrrolidone) 9, and Ca stearate was made into 1000 tablets. T 136480-83-6P

RN 136480-83-6 CA

CN 2-Benzofuranmethanol, 2,3-dihydro-2,4,6,7-tetramethyl-5-(phenylmethoxy)-, 4-methylbenzenesulfonate (9CI) (CA INDEX NAME)

L20 ANSWER 20 OF 24 CA COPYRIGHT 2000 ACS

ACCESSION NUMBER:

114:101710 CA

TITLE:

Preparation of benzoheterocycles and their use as

pharmaceuticals

INVENTOR(S): Matsuo, Kyoko; Sakane, Soichi; Shiono, Manzo;

Yamahara, Joji; Tawara, Tetsuji; Setoguchi,

Michihide;

Terasawa, Michio

PATENT ASSIGNEE(S):

Kuraray Co., Ltd., Japan; Yoshitomi Pharmaceutical

Industries, Ltd.

SOURCE:

Jpn. Kokai Tokkyo Koho, 14 pp.

CODEN: JKXXAF

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.

KIND DATE

APPLICATION NO. DATE

JP 02215779

A2 19900828

JP 1989-35702

19890214 <--

OTHER SOURCE(S):

MARPAT 114:101710

GI

$$\begin{array}{c} \text{Me} \\ \text{R}^{30} \\ \text{Me} \\ \text{X} \\ \text{(CH}_{2})_{\text{m}} \text{CONH} \\ \text{N} - \text{(CH}_{2})_{\text{p}} \\ \end{array}$$

Title compds. I [$\hat{R}1 = H$, lower alkyl; R2 = H, lower alkoxy, halo, cyano; AΒ R3 = H, acyl, lower alkoxycarbonyl, pyridylmethyl; X = O, S; Y = CH2, (CH2)2, SCH2; m = 0-2; p = 1, 2) or their pharmacol. acceptable salts, useful for treatment of allergy and disorders caused by leukotrienes, histamine, and lipid peroxidn., are prepd. I (R1, R2, X, Y, m, p = same as above; R3 = arylmethyl) are also prepd. as intermediates. Refluxing

(6-benzyloxy-3,4-dihydro-2,5,7,8-tetramethyl-2H-benzopyran-2-yl)carboxylic acid with SOC12 in C6H6-DMF mixt. for 2 h, then treatment with 4-amino-1-(2-phenylethyl)piperidine at room temp. overnight gave 14.8% I (R1 = Me, R2 = H, R3 = PhCH2, X = O, Y = CH2CH2, m = O, p = 2), which was hydrogenated over Pd/C in HCl-EtOH at room temp. overnight to afford 54.4%

I (R1 = Me, R2 = R3 = H, X = O, Y = CH2CH2, m = 0, p = 2) (II). In passive cutaneous anaphylaxis reaction II at 25 mg/kg i.p. in rats exhibited the allergic reaction with pA2 and pD2 of 8.05 and 4.64, resp.

IΤ 132217-47-1

RL: RCT (Reactant)

(amidation of, with aminopiperidine deriv.)

RN 132217-47-1 CA

2-Benzofuranacetic acid,

2,3-dihydro-2,4,6,7-tetramethyl-5-(phenylmethoxy)-

(9CI) (CA INDEX NAME)

L20 ANSWER 21 OF 24 CA COPYRIGHT 2000 ACS

ACCESSION NUMBER: 113:35960 CA

Gas chromatographic and spectral properties of TITLE:

pentafluorobenzyl derivatives of 2,4-

dichlorophenoxyacetic acid and phenolic pesticides

and

metabolites

Cline, Richard E.; Todd, Glenn D.; Ashley, David L.; AUTHOR(S):

Grainger, James; McCraw, Joan M.; Alley, Cynthia C.; Hill, Robert H., Jr.

Div. Environ. Health Lab. Sci., Cent. Environ. Health CORPORATE SOURCE:

Inquiry Control, Atlanta, GA, 30333, USA

J. Chromatogr. Sci. (1990), 28(4), 167-72 SOURCE:

CODEN: JCHSBZ; ISSN: 0021-9665 Journal

DOCUMENT TYPE:

English

LANGUAGE:

Eleven phenols and 2,4-D, compds. that may be found in body fluids of humans exposed to pesticides, are derivatized with pentafluorobenzyl bromide and characterized by gas chromatog, with electron capture detection. These derivs. are further characterized by pos. and neg.

chem.

ionization mass spectrometry, NMR spectroscopy, and GC-Fourier transform $\,$ IR spectroscopy. Neg. chem. ionization mass spectra of all derivs. have an anionic base peak derived from the parent analyte. In the pos. mode the nonchlorinated derivs. have base peaks indicative of the analyte, while chlorinated derivs. are cleaved to give the pentafluorobenzyl

cation as base peak. The possibility is explored that ortho-substituted phenols might be formed as byproducts in these derivatizations.

TΥ 127923-91-5P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. and gas chromatog. and spectral properties of)

127923-91-5 CA RN

Benzofuran, 2,3-dihydro-2,2-dimethyl-7-[(pentafluorophenyl)methoxy]-CN (9CI)

(CA INDEX NAME)

L20 ANSWER 22 OF 24 CA COPYRIGHT 2000 ACS

ACCESSION NUMBER:

110:75833 CA

TITLE:

Chiral effects on the carbon-13 resonances of

.alpha.-tocopherol and related compounds. A novel

illustration of Newman's "rule of six"

AUTHOR(S):

Brownstein, S.; Burton, G. W.; Hughes, L.; Ingold, K.

[]

CORPORATE SOURCE:

Div. Chem., Natl. Res. Counc. Canada, Ottawa, ON, K1A

Ι

OR6, Can.

Journal

SOURCE:

J. Org. Chem. (1989), 54(3), 560-9

CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE:

LANGUAGE:

OTHER SOURCE(S):

English CASREACT 110:75833

GΙ

AB The 100-MHz 13C-NMR spectrum of (2R,4'R,8'R)-.alpha.-tocopherol (natural vitamin E) was completely assigned with the aid of a no. of selectively deuterated (2R,4'R,8'R)-.alpha.-tocopherols. The 13C-NMR spectrum of (2RS,4'RS,8'RS)-.alpha.-tocopherol (all-racemic, synthetic vitamin E) was also detd. Many of the individual carbons in this all-racemic mixt. of 8 .alpha.-tocopherol stereoisomers give more than one resonance with 8 of the carbons (2-CH3, 2', 3', 4', 4'-CH3, 5', 8', and 9') giving the max. no. of 4 resonances from each of the 4 enantiomeric pairs; these resonances were also assigned. The structurally related 5-hydroxy-2'-(4',8',12'-trimethyltridecyl)-2,4,6,7-tetramethyl-2,3-dihydrobenzofuran (I) was synthesized for the first time in the 2R,4'R,8'R

and 2S,4'R,8'R configurations and their 13C-NMR resonances were assigned. In its all-racemic form this compd. also shows up to 4 resonances from a single carbon. Related observations were made with phytol and isophytol. A careful examn. of these chirally induced chem. shift differences for

the

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individual carbon atoms, .DELTA., reveals a bond-alternation effect with max. at a sepn. of one, three, and five bonds from the closest chiral center and with the max. at a five-bond sepn. being greater than that at

а

three-bond sepn. For example, the total .DELTA., .sum..DELTA., averaged over the no. of carbon atoms, n, which are sepd. from the nearest chiral center by the same no. of bonds was conservatively calcd. for .alpha.-tocopherol to be 54, 106, 43, 66, 40, and 75 ppb at sepns. from the closest chiral center of zero, one, two, three, four, and five bonds, resp. For I the corresponding .sum..DELTA./n values are 45, 67, 12, 0,

Ο,

and 20 ppb. We attribute these remarkable long-range (five-bond) effects to differences in 1,6 nonbonded repulsions for different enantiomeric pairs and consider that it provides direct evidence for the operation of Newman's classic "rule of six".

IT 118017-25-7P

RN 118017-25-7 CA

L20 ANSWER 23 OF 24 CA COPYRIGHT 2000 ACS

ACCESSION NUMBER: 110:75294 CA

TITLE: Dihydrobenzofuran derivatives as antioxidants and

drug

intermediates

INVENTOR(S):
Ejiri, Katsuji; Shiono, Manzo; Fujita, Yoshiji

PATENT ASSIGNEE(S): Kuraray Co., Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.

CODEN: JKXXAF
DOCUMENT TYPE: Patent

LANGUAGE: Patent
Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

JP 63088173 A2 19880419 JP 1986-234013 19860930 <-JP 05072908 B4 19931013

JP 05072908 B4 19931013 OTHER SOURCE(S): MARPAT 110:75294

$$Q = (CH_2)_m A_1 (CH_2)_m CO_2 (CH_2)_n$$

$$Me$$

$$R^1$$

$$Me$$

$$Me$$

$$Me$$

AB The title compds. I [R1 = H, lower alkyl; R2 = H, COR4; R3 = H, OH-protecting group; n = 1-3; R4 = (substituted) alkyl, Q; A = S; m = 1-3

IT 118238-39-4P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
 (prepn. and reaction of, in prepn. of antioxidant and drug
 intermediate)

RN 118238-39-4 CA

CN 2-Benzofuranethanol, 2,3-dihydro-2,4,6,7-tetramethyl-5-(phenylmethoxy)-, 4-methylbenzenesulfonate (9CI) (CA INDEX NAME)

L20 ANSWER 24 OF 24 CA COPYRIGHT 2000 ACS

ACCESSION NUMBER: 107:236509 CA

TITLE: Processes for the preparation of novel urea

derivatives as herbicides

INVENTOR(S): Takematsu, Tetsuo; Fukuoka, Daisuke; Takahashi,

Katsuya; Hashimoto, Isao

PATENT ASSIGNEE(S): Mitsui Petrochemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 189 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE: E FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| P | TENT | NO. | | KIN | ID | DATE | | | API | PLICATION NO. | DATE | |
|---------|--------|-------|------|-----|-----|------|------|-----|-----|---------------|----------|---|
| W | 8700 | | | A1 | | 1987 | 0212 | | WO | 1986-JP398 | 19860804 | < |
| | ₩: | BR, | | KR, | | | - m | | | | | |
| | | AT, | | | | | | NL | | | | |
| J | 6301 | .0779 | | A2 | 2 | 1988 | 0118 | | JP | 1986-177858 | 19860730 | < |
| J | 0306 | 0829 | | B4 | l | 1991 | 0917 | 5 | | | | |
| E | 2304 | 175 | | A1 | | 1987 | 0805 | | EΡ | 1986-904918 | 19860804 | < |
| E | P 2304 | 175 | | В1 | L | 1992 | 0318 | | | | | |
| | R: | AT, | CH, | DE, | FR, | GB, | IT, | LI, | NL | | | |
| H | J 4394 | 13 | | A2 | 2 | 1988 | 0128 | | HU | 1986-3830 | 19860804 | < |
| H | 2033 | 334 | | В | | 1991 | 0729 | | | | | |
| A' | r 7379 | 98 | | E | | 1992 | 0415 | | AT | 1986-904918 | 19860804 | < |
| C | A 1324 | 1147 | | A1 | L | 1993 | 1109 | | CA | 1987-528950 | 19870204 | < |
| Ш | 5.4838 | 924 | | Α | | 1989 | 0613 | | US | 1987-39457 | 19870401 | < |
| ~C | A 1324 | 148 | - | A2 | 2 | 1993 | 1109 | | CA | 1992-616404 | 19920611 | < |
| PRIORI' | ry API | T.N. | INFO | . : | | | | | JP | 1985-171025 | 19850805 | |
| | | | | | | | | | JP | 1986-64757 | 19860325 | |
| | | | | | | | | | | 1986-904918 | 19860804 | |
| | | | | | | | | | | 1986-JP398 | | |
| | | | | | | | | | | | 19870204 | |
| | | | | | | | | | ÇA | 1987-528950 | 130/0204 | |

GΙ

$$\texttt{ArO} \underbrace{\hspace{1cm}}_{\texttt{A}} = \hspace{1cm} \texttt{NHCONMeB}$$

AB Urea derivs. I [A = N, CX; X = H, Cl, NO2, CF3; B = H, Me, MeO; Ar = (un)substituted benzofuranyl, benzodioxolanyl, or benzopyranyl], useful as

herbicides, were prepd. 2-(3-Methyl-2,3-dihydro-6-benzofuranyloxy)-5-aminopyridine in pyridine was treated with Me2NCOCl in PhMe and the mixt. stirred at room temp. 9 h to give 96% 1,1-dimethyl-3-[2-(3-methyl-2,3-dihydro-6-benzofuranyloxy)-5-pyridinyl]urea (II). At 2 kg/ha, II killed 99-100% cocklebur, blackjack, and jimsonweed, and 60-69% velvet leaf,

with
 <1% damage to wheat, corn, rice, and soybean. Four formulation examples
 contg. I were given.</pre>

Page 47

111598-51-7P TΨ

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and hydrogenation of)

111598-51-7 CA RN

Benzofuran, 2,3-dihydro-2,2-dimethyl-5-(phenylmethoxy)- (9CI) (CA INDEX CN NAME)

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|--|------------|---------|
| | ENTRY | SESSION |
| FULL ESTIMATED COST | 96.76 | 484.68 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE | TOTAL |

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1.2 STRUCTURE UPLOADED L3 STRUCTURE UPLOADED L4

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| 2 | FOR | 4 |
| 3 | NPL | 7 |

| Total | number | of t | pages: | 162 |
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